

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY


(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 26 OCT 2005

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| Applicant's or agent's file reference PC26084A | FOR FURTHER ACTION | | See Form PCT/PEA/416 |
| International application No. PCT/IB2004/003749 | International filing date (day/month/year) 15.11.2004 | Priority date (day/month/year) 26.11.2003 | |
| International Patent Classification (IPC) or national classification and IPC C07D231/40, C07D401/12, C07D405/12, A61K31/415, A61P25/00 | | | |
| Applicant PFIZER PRODUCTS INC. ✓ | | | |
| <p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 4 sheets, including this cover sheet. ✓</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p> | | | |
| <p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p> | | | |
| Date of submission of the demand 22.12.2004 ✓ | | Date of completion of this report 25.10.2005 | |
| Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | | Authorized Officer Lauro, P Telephone No. +49 89 2399- | |



**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/B2004/003749

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages

1-60 as originally filed

Claims, Numbers

1-10 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/IB2004/003749

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | |
|-------------------------------|-------------|------|
| Novelty (N) | Yes: Claims | 1-10 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | |
| | No: Claims | 1-10 |
| Industrial applicability (IA) | Yes: Claims | 1-5 |
| | No: Claims | 6-10 |

2. Citations and explanations (Rule 70.7):

see separate sheet

Re Item V

1. Reference is made to the following document:

D1: WO 02/18346 A (PFIZER PRODUCTS INC; COOPER, CHRISTOPHER, BLAIR; HELAL, CHRISTOPHER, J) 7 March 2002 (2002-03-07)

2. **Novelty**

The compounds of the present application have been selected from the compounds disclosed in D1; since none of the compounds exemplified in D1 falls within the definition of formula (I) of the current application, novelty is acknowledged.

3. **Inventive Step**

The problem underlying the present application appears to reside in the provision of pyrazole derivatives useful in the treatment of various medical conditions in view of their inhibitory activity on GSK-3, cdk-2 and cdk-5.

The same activity is shown by the compounds disclosed in D1. Since the present compounds have been selected from D1, they would have been expected to possess the qualitative activity disclosed in D1. In the absence of data which show unexpected effects of the compounds of the current application compared to the structurally closest compounds of D1, inventive step cannot be acknowledged.

4. Further observations:

- Claims 6 to 10 refer to a method for treatment of the human or animal body.
- The claims refer to prodrugs; however, their structure is undefined and it is not known a priori which groups are to be considered to hydrolyse in vivo.
- The set of claims contains two claims numbered as 8.